=>

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chain nodes :
1 2 3 4 12
ring nodes :
5 6 7 8 9 10
chain bonds :
1-2 2-3 3-4 3-5
ring bonds :
5-6 5-10 6-7 7-8 8-9 9-10
exact/norm bonds :
1-2 2-3 3-4 3-5 5-6 5-10 6-7 7-8 8-9 9-10
isolated ring systems :
containing 5 :

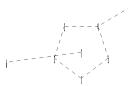
Match level:
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 12:CLASS 13:CLASS

L1 STRUCTURE UPLOADED

=>

Uploading C:\Program Files\Stnexp\Queries\10574048-amended-narrow.str





chain nodes :
6
ring nodes :
1 2 3 4 5
ring/chain nodes :
8
ring/chain bonds :
4-8
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 2-3 3-4 4-5

Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS

L4 STRUCTURE UPLOADED

FILE 'REGISTRY' ENTERED AT 14:47:26 ON 13 MAY 2008

STRUCTURE UPLOADED

L2 36 S L1

L3 9243 S L1 SSS FULL

L4 STRUCTURE UPLOADED

L5 2 S L4 SAM SUB=L3 L6 58 S L4 SSS FULL SUB=L3

FILE 'CAPLUS' ENTERED AT 14:48:23 ON 13 MAY 2008

L7 4 S L6

FILE 'REGISTRY' ENTERED AT 14:48:29 ON 13 MAY 2008

FILE 'CAPLUS' ENTERED AT 14:48:33 ON 13 MAY 2008

L8 2 S US200!-574048/APPS

L9 1 S L7 AND L8 L10 3 S L7 NOT L8

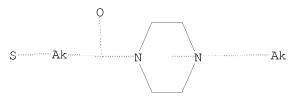
FILE 'REGISTRY' ENTERED AT 14:48:57 ON 13 MAY 2008

=> d 11

L1

L1 HAS NO ANSWERS

L1 STF

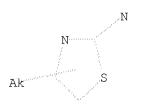


Structure attributes must be viewed using STN Express query preparation.

=> d 14

L4 HAS NO ANSWERS

L4 STR



Structure attributes must be viewed using STN Express query preparation.

L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:300422 CAPLUS <<LOGINID::20080513>>

DN 142:373822

TI Preparation of thiazoline derivatives as FXa inhibitors

IN Kubo, Keiji; Kuroita, Takanobu; Kawamura, Masaki; Sakamoto, Hiroki

PA Takeda Pharmaceutical Company Limited, Japan

SO PCT Int. Appl., 192 pp.

LA Japanese FAN.CNT 1 APPLICATION NO. DATE WO 2005030740 A1 2005 PATENT NO. KIND DATE _____ -----A1 20050407 WO 2004-JP14685 20040929 PΙ W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG EP 1669352 20060614 EP 2004-773616 Α1 20040929 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK 20050519 JP 2005126428 Α JP 2004-288257 20040930 US 2006-574048 US 20070010528 Α1 20070111 20060512 <--PRAI JP 2003-341430 Α 20030930 WO 2004-JP14685 W 20040929 MARPAT 142:373822 OS GΙ

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Title compds. I [R = (un) substituted cyclic hydrocarbon group, AΒ (un) substituted heterocyclic group; X = bond, (un) substituted divalent chain hydrocarbon group; X' = bond, NR5; R5 = H, (un)substituted hydrocarbon group, etc.; Y = (un)substituted divalent hydrocarbon group; Y' = bond, carbonyl; ring A = (un)substituted nitrogenous heterocycle; Z1, Z3 = bond, (un) substituted divalent chain hydrocarbon group; Z2 = bond, NR6; R6 = H, (un) substituted hydrocarbon group, etc.; a = 0-2; ring B = II, etc.; R2 = H, halo, etc.; R3 = H, (un)substituted hydrocarbon group, etc.; R4 = (un)substituted hydrocarbon group; further details on R2, R3, R4 were provided.] were prepared For example, reaction of 1-(3-((6-chloro-2-naphthyl)sulfonyl)propionyl)piperazine, e.g., prepared from 1-piperazinecarboxylic acid tert-Bu ester, with 4-chloromethyl-1,3thiazole-2-amine 2HCl followed by treatment with iodomethane afforded compound III·2HCl. In FXa (blood coagulation factor Xa) inhibition assays, the IC50 value of compound III·2HCl was 22 nM. Compds. I are claimed useful for the treatment of myocardial infarction, obstructive arteriosclerosis, etc. Formulations are given. RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD

=> d l10 tot bib abs hitstr

CODEN: PIXXD2

Patent

DT

L10 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:1177856 CAPLUS <<LOGINID::20080513>>

DN 147:469326

TI Preparation of pyridyl thiazolyl amines as glucokinase activators

ALL CITATIONS AVAILABLE IN THE RE FORMAT

IN Aicher, Thomas Daniel; Boyd, Steven Armen; Chicarelli, Mark Joseph;

Condroski, Kevin Ronald; Hinklin, Ronald Jay; Singh, Ajay

PA Array Biopharma Inc., USA

SO PCT Int. Appl., 276pp.

CODEN: PIXXD2

DT Patent LA English

FAN.CNT 1

FAN.	PATENT NO.					D	DATE			APPLICATION NO.						DATE			
ΡΙ	WO 200	2007117381 2007117381 2007117381			A2 A3 A9		20071018 20080214 20080327		1	WO 2007-US7444					20070323				
	₩:	CH, GD, KN, MN, RS,	CN, GE, KP, MW, RU, UA,	CO, GH, KR, MX, SC, UG,	CR, GM, KZ, MY, SD, US,	CU, GT, LA, MZ, SE, UZ,	AU, CZ, HN, LC, NA, SG, VC,	DE, HR, LK, NG, SK, VN,	DK, HU, LR, NI, SL, ZA,	DM, ID, LS, NO, SM, ZM,	DZ, IL, LT, NZ, SV, ZW	EC, IN, LU, OM, SY,	EE, IS, LY, PG, TJ,	EG, JP, MA, PH, TM,	ES, KE, MD, PL, TN,	FI, KG, MG, PT, TR,	GB, KM, MK, RO, TT,		
PRAI OS GI	US 200 MARPAI	BJ, GH, BY, 6-785	IT, CF, GM, KG, 460P	LT, CG, KE, KZ,	LU, CI, LS, MD,	LV, CM, MW, RU,	MC, GA, MZ, TJ, 2006	MT, GN, NA, TM,	NL, GQ, SD,	PL, GW, SL,	PT, ML, SZ,	RO, MR, TZ,	SE, NE,	SI, SN,	SK, TD,	TR, TG,	BF, BW,		

The title compds. I [L = 0, S, C(0) or CHR14; Y = N or CR4; Z = N or CR3 AB (wherein at least one of G or Z is not N); G = N or CR11; R1 = (un) substituted thiazolyl, thiadiazolyl, thiazolopyridinyl, etc.; R2 = (un) substituted aryl, heteroaryl, cycloalkyl, etc.; R3 = H, alkyl, cycloalkyl, etc.; R4 = H, Me, Et, halo, etc.; R11 = H, Me, Et, halo, etc.; R14 = H, Me, Et, OH] that are useful in the treatment and/or prevention of diseases mediated by deficient levels of glucokinase activity, such as diabetes mellitus, were prepared E.g., a 2-step synthesis of II, starting from 2-chloropyridin-3-ol and 2-fluorobenzonitrile, was given. The exemplified compds. I have been found to have an EC50 in the range of 6 and 50,000 nM in in vitro glucokinase assay. Pharmaceutical composition comprising the compound I id disclosed. Also provided are methods of treating or preventing diseases and disorders characterized by underactivity of glucokinase or which can be treated by activating glucokinase.

IT 953042-80-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridyl thiazolyl amines as glucokinase activators)

RN 953042-80-3 CAPLUS

CN Ethanone, 1-(4-methyl-1-piperazinyl)-2-[[6-[(4-methyl-2-thiazolyl)amino]-5phenoxy-3-pyridinyl]thio]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L10 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

2007:510469 CAPLUS <<LOGINID::20080513>> ΑN

DN 146:501037

ΤI Preparation of pyridine derivatives and analogs thereof as glucokinase activators

ΙN Aicher, Thomas Daniel; Lee, Wai-Man; Hinklin, Ronald Jay; Chicarelli, Mark Joseph; Boyd, Steven Armen; Condroski, Kevin Ronald

PAArray Biopharma Inc., USA

SO PCT Int. Appl., 190pp. CODEN: PIXXD2

Patent DT

English LA

EAN CNT

FAN.CNT 1																		
	PATENT NO.					KIND		DATE		1	APPL:	ICAT:		DATE				
ΡI	WO 2007053345			A1	_	20070510		1	WO 2	 006-1		20061024						
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
			GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,
			KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,
			MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,
			RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,
			TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW						
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
			IS,	ΙΤ,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
			CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML_{\prime}	MR,	NE,	SN,	TD,	ΤG,	BW,	GH,
			GM,	ΚE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
			KG,	KΖ,	MD,	RU,	ТJ,	TM										
PRAI	AI US 2005-732037P P 20051101																	
OS	MARPAT 146:501037																	

GI

AB Title compds. I [R1 = (un)substituted heteroaryl; R2 = (un)substituted monocyclic aryl, bicyclic aryl or heteroaryl; Z = N or CR3, wherein R3 = H, (un)substituted alkyl, alkenyl, etc.; Y = N or CR4, wherein R4 = H, Me, Et, etc.; G = N or CR5, wherein R5 = H, Me, Et, etc.; at least one of G or Z is not N], and their pharmaceutically acceptable salts, are prepared and disclosed as glucokinase activators. Thus, e.g., II·HCl was prepared via bromination of 3-(benzyloxy)pyridin-2-amine followed by condensation with benzoyl isothiocyanate to generate 1-benzoyl-3-[3-(benzyloxy)-5-bromopyridin-2-yl]thiourea intermediate which undergoes hydrolysis and heterocyclization with 1-chloropropan-2-one. The glucokinase activity of certain compds. of the invention was evaluated in glucose S0.5 assay with S0.5 values ranging from 1.5 to 4.0 mM.

936245-88-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of pyridine derivs. and analogs thereof as glucokinase activators) $\$

RN 936245-88-4 CAPLUS

ΙT

CN Ethanone, 1-(4-methyl-1-piperazinyl)-2-[[6-[(4-methyl-2-thiazolyl)amino]-5-(phenylmethoxy)-3-pyridinyl]thio]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:439172 CAPLUS <<LOGINID::20080513>>

DN 146:441825

TI Preparation of acylated piperazines as histone deacetylase (HDAC) inhibitors for treating cancer, psoriasis and related diseases

IN Srinivas, Akella Satya Surya Visweswara; Narasimhan, Kilambi; Manikandan,

Lakshmanan; Rajagopal, Sriram; Selvakumar, Thangapazham; Reddy, Gaddam Om

PA Orchid Research Laboratories Limited., India

SO U.S. Pat. Appl. Publ., 30pp.

CODEN: USXXCO

DT Patent LA English

FAN.CNT 1

L'AIV.	PATENT	KIND		DATE			APPLICATION NO.						DATE						
PI		JS 20070088043			A1 20070419				US 2			20061017							
	IN 2009	005CH01492			A 20071012				IN 2	005-		20051018							
	WO 200	2007045962			A2		20070426			WO 2006-IB2890						20061017			
	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,		
		GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,		
		KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,		
		MN.	MW.	MX.	MY,	MZ,	NA,	NG.	NI.	NO.	NZ.	OM,	PG,	PH.	PL,	PT,	RO,		
		•	,		•	,	SG,	•	,	,	,	,	•	,	•	•	,		
		•	•	•	•		VC,	•	•	•	•		,	,	,	,	,		
	RW:	: AT,	,		•	,		•				FI,	FR,	GB,	GR,	HU,	IE,		
							MC,									BF,			
		•	•	•	•	•	GN,	•	•	•	•	•	•	•	TG,		GH,		
		GM,	•	•	•		NA,		•	•	•	•	•		,	,	,		
		_ ′	KZ,	•	•	•	•	<i></i>	~_,	~_,	,	00,	,	,	,	,	,		
PRAI	IN 2005	,	,	,	,	,	2005	1010											
					Λ		2005	1010											
OS GI	MARPAT	146:	4418	4 5															

AB Title compds. I [wherein A = (un) substituted aryl, aralkyl, heterocyclyl or benzofused heteroaryl; X = NHCOCH2, CH2NHCO, etc. X and A are fused to form a cyclic structure; Y2 = O or S; B = thioate, thiol, hydroxamic group, etc.; n = 0-7] and their analogs, tautomers, stereoisomers, polymorphs, hydrates, solvates, and pharmaceutically acceptable salts were prepared as histone deacetylase (HDAC) inhibitors. For instance, successive condensation of 5-bromopentanoic acid with N-Boc-piperazine, substitution of the bromide with potassium thioacetate, removal of the Boc group with TFA, acylation of the piperazine with bromoacetyl bromide, and amination with 2-amino-1,3-benzothiazole led to double acylated piperazine II. The invented compds. showed more or less inhibition activity of cancer cell growth and HDAC. I and pharmaceutical compns. thereof are useful for the treatment of HDAC-mediated disorders, such as cancer and psoriasis.

IT 934629-14-8P 934629-53-5P 934629-56-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of acylated piperazines as histone deacetylase (HDAC) inhibitors for treating cancer and psoriasis)

RN 934629-14-8 CAPLUS

CN Ethanethioic acid, S-[5-[4-[2-[(4-methyl-2-thiazolyl)amino]-2-oxoethyl]-1-piperazinyl]-5-oxopentyl] ester (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & \\ & & & & \\ \text{Acs-(CH2)}_4 - & & & \\ & & & & \\ & & & \\ & & & \\ \end{array}$$

RN 934629-53-5 CAPLUS

CN Ethanethioic acid, S-[5-[4-[2-[[4-(1,1-dimethylethyl)-2-thiazolyl]amino]-2-oxoethyl]-1-piperazinyl]-5-oxopentyl] ester (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 934629-56-8 CAPLUS

CN Ethanethioic acid, S-[6-[4-[2-[(4-methyl-2-thiazolyl)amino]-2-oxoethyl]-1-piperazinyl]-6-oxohexyl] ester (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ \text{Acs-(CH}_2)_5 - & & \\ & & & \\ & & & \\ & & & \\ \end{array}$$